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WPI Acc no: 2002-216991/200227

Related WPI Acc No: 2002-206017; 2003-278314; 2003-342384; 2003-829456

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Substituted phenyl oxazolidinone derivatives are useful as antimicrobial agents effect against a nun

Patent Assignee: ARORA S K (AROR-I); DAS B (DASB-I); MEHTA A (MEHT-I); RANBAXY LAB I S (RUDR-I)

Inventor: ARORA S K; DAS B; MEHTA A; METHA A; RATTAN A; RAY A; RUDRA S; SUDERSH/

Patent Family (17 patents, 95 & countries)

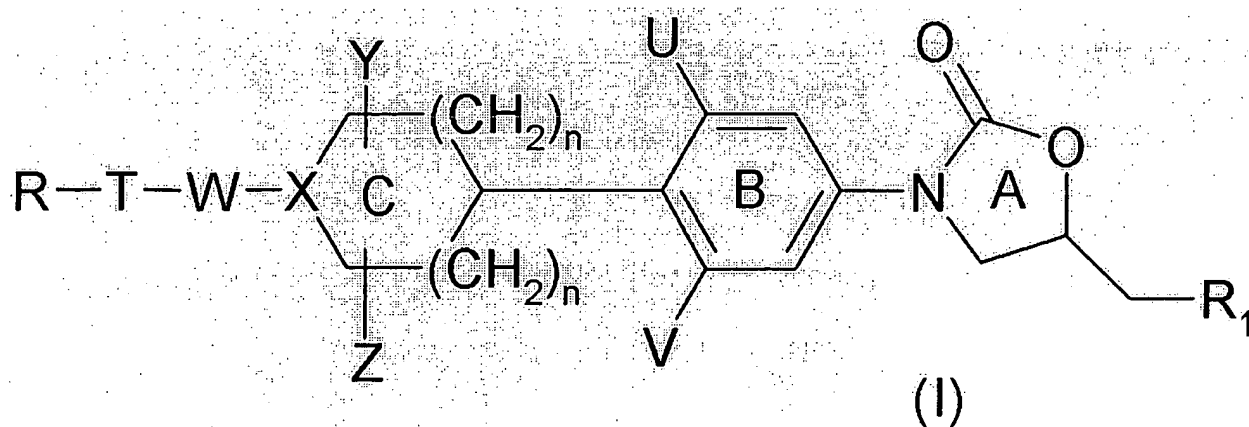
Patent Number	Kind	Date	Application Number	Kind	Date	Update	Type
WO 2002006278	A1	20020124	WO 2001IB1262	A	20010716	200227	B
AU 200169370	A	20020130	AU 200169370	A	20010716	200236	E
US 20020103186	A1	20020801	US 2001906215	A	20010716	200253	E
EP 1303511	A1	20030423	EP 2001947730	A	20010716	200329	E
			WO 2001IB1262	A	20010716		
BR 200112826	A	20030624	BR 200112826	A	20010716	200343	E
			WO 2001IB1262	A	20010716		
CZ 200300228	A3	20030618	WO 2001IB1262	A	20010716	200347	E
			CZ 2003228	A	20010716		
SK 200300140	A3	20030805	WO 2001IB1262	A	20010716	200360	E
			SK 2003140	A	20010716		
CN 1447808	A	20031008	CN 2001814422	A	20010716	200403	E
HU 200302918	A2	20031229	WO 2001IB1262	A	20010716	200413	E
			HU 20032918	A	20010716		
JP 2004504321	W	20040212	WO 2001IB1262	A	20010716	200413	E
			JP 2002512181	A	20010716		
ZA 200300471	A	20040128	ZA 2003471	A	20030117	200420	E
US 6734307	B2	20040511	US 2001906215	A	20010716	200431	E
MX 2003000503	A1	20031201	WO 2001IB1262	A	20010716	200470	E
			MX 2003503	A	20030116		
NZ 523700	A	20041126	NZ 523700	A	20010716	200479	E
			WO 2001IB1262	A	20010716		
AU 2001269370	B2	20051027	AU 2001269370	A	20010716	200623	E
RU 2292345	C2	20070127	WO 2001IB1262	A	20010716	200752	E

Priority Applications (no., kind, date): IN 2000DE654 A 20000717

			RU 2003103767	A	20010716		
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Alerting Abstract WO A1

NOVELTY - Substituted phenyl oxazolidinone derivatives (I), their salts, enantiomers, diastereomers, N-
DESCRIPTION - Substituted phenyl oxazolidinone derivatives of formula (I), their salts, enantiomers, di



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T= 5-7 membered heterocyclic ring, aryl (optionally substituted), bound to the ring C with a linker w and the heterocyclic and aryl rings are further substituted by R;

R= CN, COR₅COOR₅, N(R₆,R₇), CON (R₆,R₇), CH₂NO₂, NO₂, CH₂R₈, CHR₉, CH=N-OR₁₀ or C=CH-R₅;

R₅= H, optionally substituted 1-12C alkyl, 3-12C cycloalkyl, aryl or heteroaryl;

R₆, H, optionally substituted 1-12C alkyl, 3-12C cycloalkyl or 1-6C alkoxy;

R₇=

R₈, H, 1-6C alkyl, F, Cl, Br, 1-12C alkyl substituted with one or more F, Cl, Br, I, OR₄, SR₄ or N

R₉= (R₆R₇);

R₄= H, 1-12C alkyl, 3-12C cycloalkyl, 1-6C alkoxy, 1-6C alkyl substituted with one or more F, Cl, I or OH or N(R₆R₇);

R₁₀= H, optionally substituted from H, optionally substituted 1-12C alkyl, 3-12C cycloalkyl, 1-6C alkoxy, 1-6C alkyl, aryl or heteroaryl;

n= 0-3;

X= CH, CH-S or N;

Y, Z= H, 1-6C alkyl, 3-12C cycloalkyl or 0-3C bridging group;

U, V= 1-6C alkyl, F, Cl, Br, 1-12C alkyl substituted with one or more F, Cl, Br, I (preferably H or F);

W= CH₂, CO, CH₂NH, NHCH₂, CH₂NHCH₂, CH₂-N(R₁₁)CH₂, CH(R₁₁), S, CH₂(CO), NH;

R₁₁= 1-12C alkyl, 3-12C cycloalkyl, 1-6C alkoxy, 1-6C alkyl, aryl or heteroaryl;

R₁= NHC(=O)R₂;

R₂= H, 1-12C alkyl, 3-12C cycloalkyl, 1-6C alkoxy, 1-6C alkyl substituted with one or more F, Cl, Br, I or OH, N(R₃,R₄), NR₂C(=S)R₃ or NR₂C(=S)SR₃; and

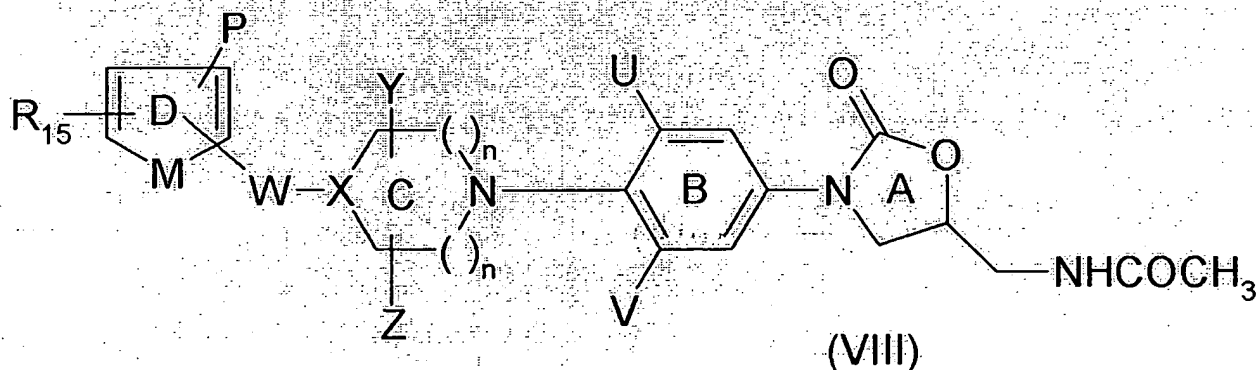
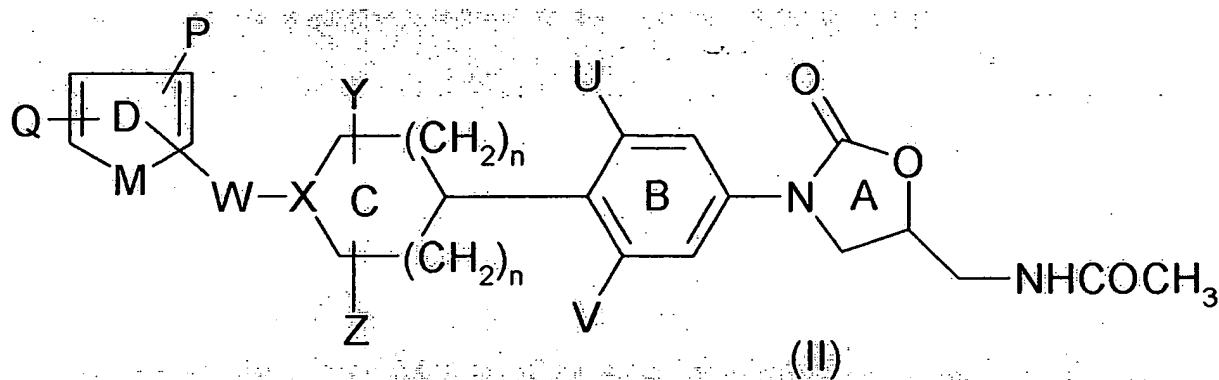
R₃, H, 1-12C alkyl, 3-12C cycloalkyl, 1-6C alkoxy, 1-6C alkyl substituted with one or more F, Cl,

R₄= Br, I or OH.

INDEPENDENT CLAIMS are also included for:

1. compounds of formula (II);
2. preparation of (I) by reacting an amine with a heterocyclic compound;
3. preparation of (II);

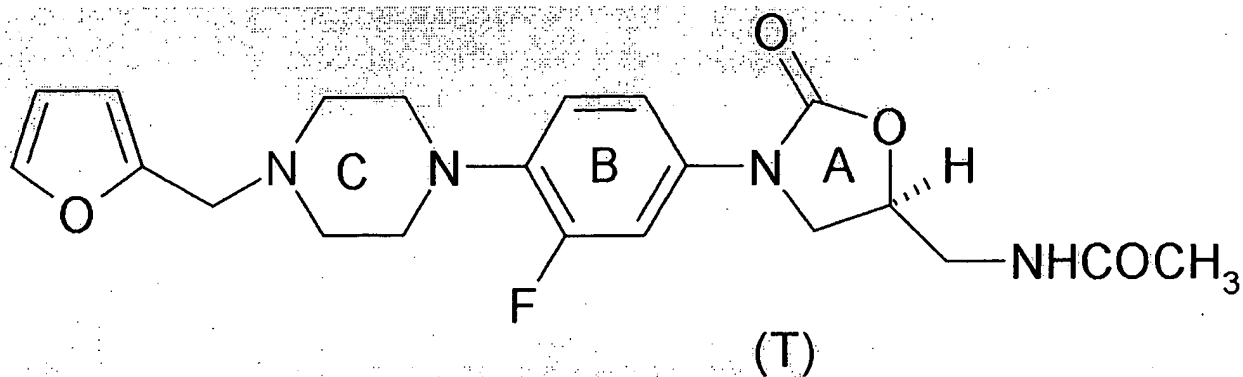
4. preparation of compounds of formula (VIII), by converting a compound of formula (VII) (II; Q = R₁₄): R₁₄ = is any group which can be converted to R₁₅ in 1-5 steps, R₁₅ = Q;
5. preparation of compounds of formula (XI) (R₁₆-T), by reacting (IX) [(HC=O)-T] with sodium borohydride to give (X) (HOCH₂-T) and further reacting this with diethylamino sulfur trifluoride to give (XI);
6. preparation of compounds of formula (XII) (R₁₇-T) by reacting (S)-N-[[3-fluoro-4-[N-1[4-(2-furyl(5-formyl)methyl)]piperazinyl]phenyl]-2-oxo-5-oxazolidinyl-methyl]acetamide with hydroxylamine (IX);
7. preparation of compounds of formula (XIII); or
8. preparation of compounds of formula (XIV) (R₁₈-T), by reacting (IX) with Ag₂O to produce (S)-N-((3-fluoro-4-(N-1(4-(2-furyl(5-carboxy)methyl))piperazinyl)phenyl)-2-oxo-5-oxazolidinyl-methyl)acetamide (XIII) with hydroxylamine; and
9. a composition comprising (I) or (II).



Q, CN, COR₅, COOR₅, N (R₆R₇), CON (R₆R₇), CON (R₆, R₇), CH₂NO₂, NO₂, CH₂R₈, CHR₉,
P= CH=N, OR₁₀, C=CH-R₅;

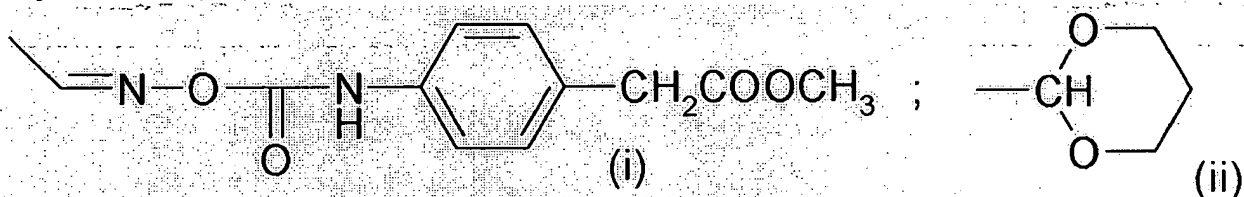
R₁₀= H, optionally substituted from H, optionally substituted 1-12C alkyl, 3-512C cycloalkyl, 1-6C alkoxy, 1-6C alkyl, aryl or heteroaryl, except W=(CO), Q and P=H, ring C in formula (II) is 6-8 membered or of larger size and the larger rings have either 2-3C between each N atom;

T=



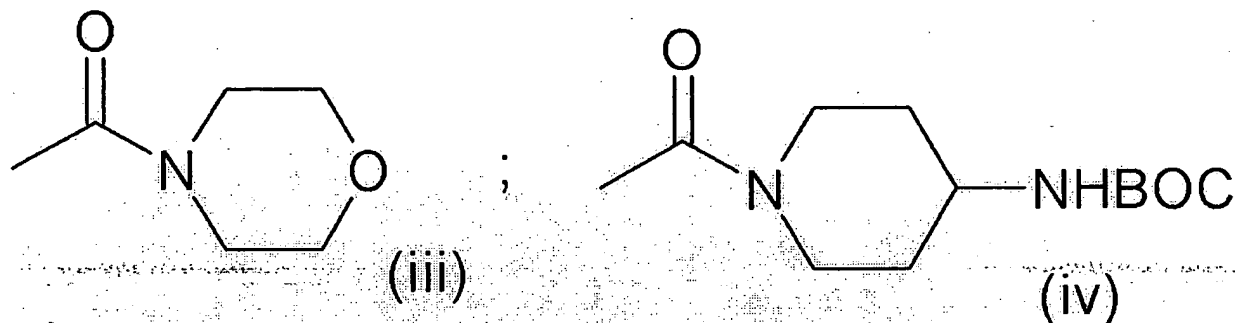
$R_{16} = -CH_2F$ or $-CH_2F_2$;

$R_{17} = CH_3CH=N-NH_2$ or (i) or (ii)



;and

$R_{18} = -(C=O)NH_2$ or (iii) or (iv)



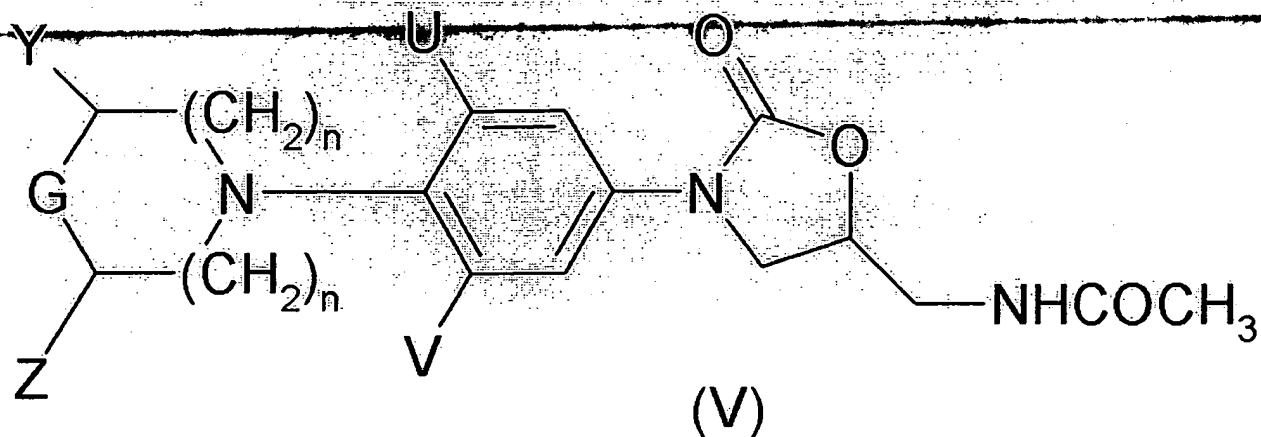
ACTIVITY - Antibacterial.

MECHANISM OF ACTION - None given.

USE - Useful as antimicrobial agents effect against a number of human and veterinary pathogens, including gram positive aerobic bacteria such as multiply-resistant *staphylococci* , *streptococci* or *enterococci* , as well as anaerobic organisms such as *Bacteriodes spp.* and *Clostridia spp.* and acid fast organisms such as *Mycobacterium tuberculosis* , *Mycobacterium avium* or *Mycobacterium spp.*

Technology Focus

ORGANIC CHEMISTRY - Preferred Method: (I) is prepared by reacting an amine of formula (V) with a heterocyclic compound of formula R-T-W- R_{12} :

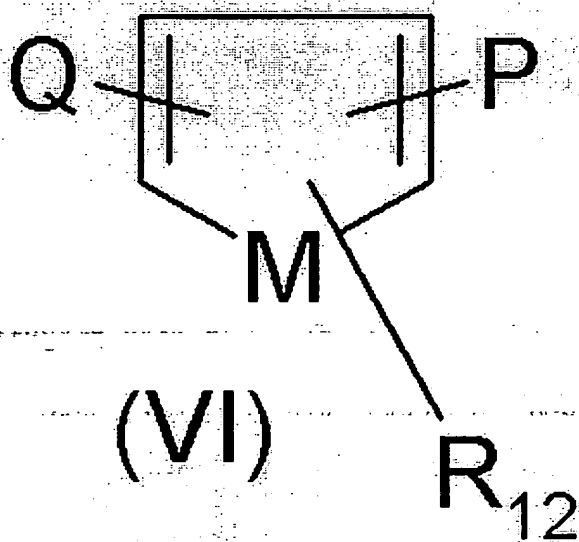


G= NH, CH(NHR₁₃), CH-CH₂NHR₁₃;

R₁₃= H, ethyl, methyl, isopropyl, acetyl, cyclopropyl, alkoxy or acetyl; and

R₁₂= leaving-group comprising F, Cl, Br, SCH₃, SO₂CH₃, SO₂CF₃ or OC₆H₅;

(II) is prepared in a suitable solvent selected from dimethylformamide, dimethylacetamide, ethanol or ethylene glycol at -70 to 180(deg)C in the presence of a suitable base selected from triethyl amine, diisopropyl amine, potassium carbonate or sodium bicarbonate, by reacting a compound of formula (V) with a furaldehyde or furoic acid (VI) and reductive alkylation of the amine of (V) is performed with a

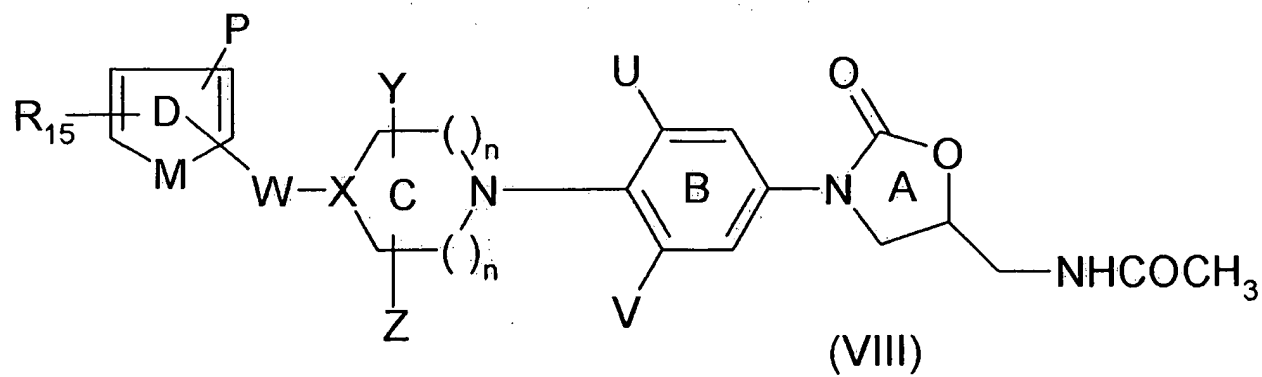
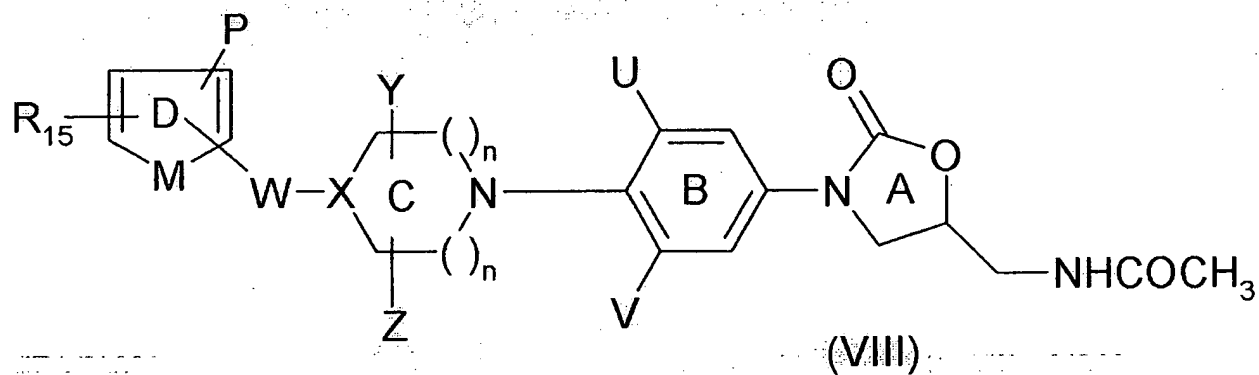
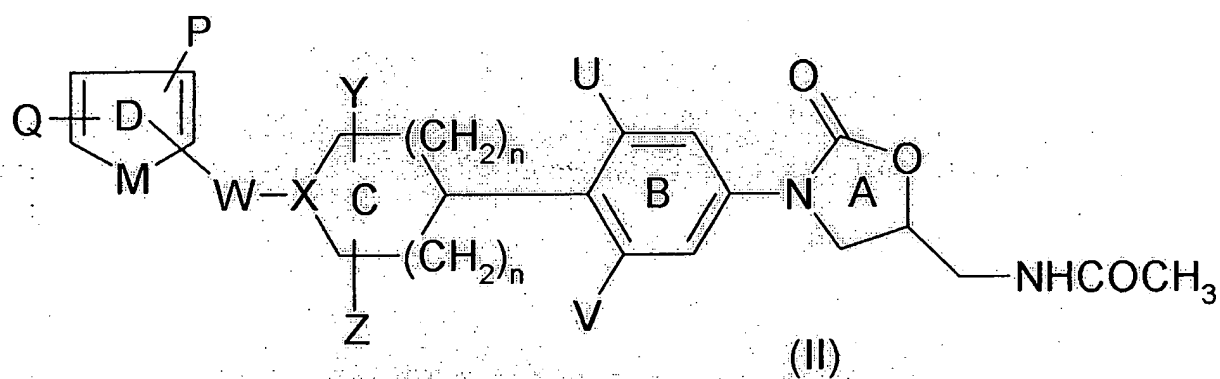
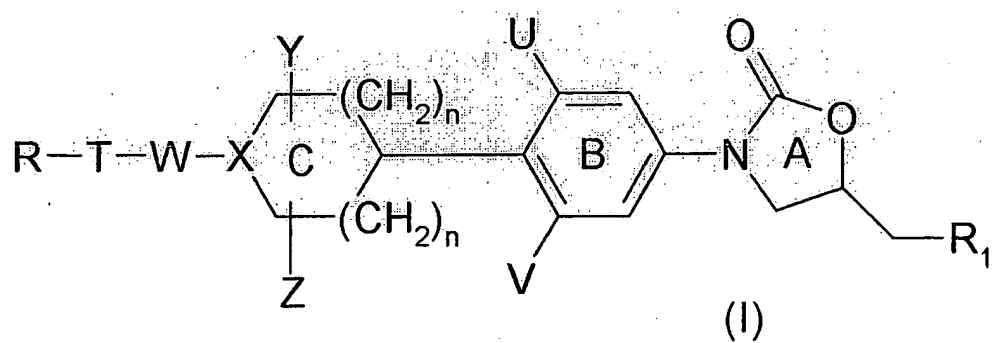


reducing agent: &
(VIII) is prepared by converting a compound of formula (VII) (II; Q = R₁₄):

R₁₄= is any group which can be converted to R₁₅ in 1-5 steps; and

R₁₅= Q.

Main Drawing Sheet(s) or Clipped Structure(s)



Title Terms /Index Terms/Additional Words: SUBSTITUTE; PHENYL; OXAZOLIDINONE;
DERIVATIVE; USEFUL; ANTIMICROBIAL; AGENT; EFFECT; NUMBER; HUMAN;
VETERINARY ; PATHOGEN

Class Codes

International Patent Classification

IPC	Class Level	Scope	Position	Status	Version Date
C07D-263/20; C07D-413/14			Main		"Version 7"
A61K-031/42; A61K-031/422; A61K-031/4468; A61K-031/496; A61K-031/5377; A61K-031/541; A61P-031/04; A61P-043/00; C07D-413/12			Secondary		"Version 7"
A61K-0031/422	A	I	L	R	20060101
A61K-0031/4468	A	I	L	R	20060101
A61K-0031/496	A	I	L	R	20060101
A61K-0031/5377	A	I	L	R	20060101
A61K-0031/541	A	I	L	R	20060101
A61P-0031/04	A	I	L	R	20060101
A61P-0043/00	A	I	L	R	20060101
C07D-0263/20	A	I	F	R	20060101
C07D-0413/10	A	I		R	20060101
C07D-0413/12	A	I		R	20060101
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Classification, Issued: 514218, 514253.1, 514254.02, 540575, 544360, 544370, 546209, 514340, 544369

File Segment: CPI

DWPI Class: B03; C02; D22

Manual Codes (CPI/A-N): B06-H; B07-H; B14-A01; C06-H; C07-H; C14-A01; D09-A01C

Chemical Indexing

Derwent Registry Numbers: 0457-P; 0457-U; 0876-P; 0876-U; 0919-P; 0919-U; 1013-P; 1013-U; 1208-P; 1208-U; 1300-P; 1300-U; 1713-P; 1713-U; 1829-P; 1829-U; 1997-P; 1997-U

Chemical Fragment Codes (M2):

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RA6JOR-T 516279-N 516279-P 516279-T

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RA6JOS-P RA6JOS-T 516280-N 516280-P 516280-T

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RA6JSC-P RA6JSC-T 516421-N 516421-P 516421-T

52 M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1
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J371 J5 J521 L9 L922 M280 M311 M323 M342 M343 M349 M362 M373 M391 M392
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53 M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1
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54 M905 M904 F011 F012 F013 F014 F015 F553 F610 G010 G015 G100 H1
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 M511 M522 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261
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 M511 M522 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261
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 M372 M373 M391 M393 M412 M511 M522 M531 M540 M710 M720 N225 N242 N261
 N311 N315 N333 P220 Q261 00690 RA6JT1-N RA6JT1-P RA6JT1-T 516446-N
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 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 00690
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 63 M905 M904 D012 D021 D690 F012 F013 F015 F019 F211 F610 G015 G100 H1
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 M511 M522 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261
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 64 M905 M904 D012 D021 D690 F012 F013 F015 F019 F111 F610 G015 G100 H1
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 M510 M523 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261
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66 M905 M904 F011 F012 F013 F014 F015 F433 F610 G015 G016 G100 H1 H141 H2
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M522 M532 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261
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67 M905 M904 F011 F012 F013 F014 F015 F019 F111 F433 F610 G015 G100 H1
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68 M905 M904 F011 F012 F013 F014 F015 F019 F111 F433 F610 G015 G100 H1
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69 M905 M904 F011 F012 F013 F014 F015 F019 F111 F433 F610 G015 G100 H1
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M523 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261
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70 M905 M904 F011 F012 F013 F014 F015 F019 F111 F433 F610 G015 G100 H1
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M126 M136 M210 M211 M262 M273 M281 M311 M321 M342 M373 M391 M413 M510
M523 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261
RA6JTB-N RA6JTB-P RA6JTB-T 516456-N 516456-P 516456-T

71 M905 M904 F011 F012 F013 F014 F015 F019 F211 F433 F610 G015 G100 H1
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RA6JTC-P RA6JTC-T 516457-N 516457-P 516457-T

72 M905 M904 F011 F012 F013 F014 F015 F019 F111 F433 F610 G015 G100 H1
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M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 RA6JTD-N
RA6JTD-P RA6JTD-T 516458-N 516458-P 516458-T

73 M905 M904 F011 F012 F013 F014 F015 F019 F111 F433 F610 G015 G100 H1
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M413 M510 M523 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220
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74 M905 M904 F011 F012 F013 F014 F015 F019 F111 F433 F610 G015 G100 H1
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M510 M523 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261
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75 M905 M904 F011 F012 F013 F014 F015 F019 F211 F433 F610 G015 G100 H1
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M510 M523 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261
RA6JTG-N RA6JTG-P RA6JTG-T 516461-N 516461-P 516461-T

76 M905 M904 F011 F012 F013 F014 F015 F019 F211 F433 F610 G015 G100 H1
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L922 M210 M211 M262 M273 M281 M311 M322 M342 M373 M392 M413 M510 M523
M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 RA6JTH-N
RA6JTH-P RA6JTH-T 516462-N 516462-P 516462-T

77 M905 M904 F011 F012 F013 F014 F015 F019 F211 F433 F610 G015 G100 H1
H103 H121 H141 H2 H201 H211 H6 H601 H641 J0 J011 J3 J371 J5 J521 L9
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M523 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261

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RA6JTI-N RA6JTI-P RA6JTI-T 516463-N 516463-P 516463-T

78 M905 M904 F011 F012 F013 F014 F015 F019 F211 F433 F610 G015 G100 H1
H103 H121 H141 H2 H201 H211 H6 H601 H603 H621 H641 J0 J011 J3 J371 J5
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M510 M523 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261
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79 M905 M904 M910 A111 A940 B105 B720 B760 B809 B831 C101 C802 C804 C805
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80 M905 M904 C009 C216 K0 K1 K122 K199 K3 K352 K399 M210 M212 M273 M282
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81 M905 M904 M910 C101 C107 C108 C520 C730 C800 C801 C802 C804 C807 M411
M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 R01829-N R01829-P
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218-T 218-U 3860-P 3860-U

82 M905 M904 C101 C107 C108 C520 C550 C730 C800 C801 C802 C804 C807 M411
M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 R04336-N R04336-P
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130554-P 130554-U 131865-P 131865-U 132879-P 132879-U 244-P 244-U
97129-P 97129-U

83 M905 M904 K0 L2 L230 M280 M320 M416 M620 M710 M720 N225 N242 N261 N311
N315 N333 P220 Q261 R21018-N R21018-P R21018-T 1341-N 1341-P 1341-T

84 M905 M904 H6 H607 H685 H689 K0 K4 K410 K432 K499 M280 M311 M322 M344
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85 M905 M904 M910 H1 H103 H181 M210 M212 M273 M283 M320 M416 M620 M710
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86 M905 M904 M910 H4 H402 H482 H8 M280 M313 M321 M332 M342 M383 M391 M416
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R01300-P R01300-T 813-N 813-P 813-T 813-U

87 M905 M904 M910 B105 B701 B720 B752 B803 B805 B809 B831 C009 C100 C800
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88 M905 M904 M910 C500 C730 C800 C801 C802 C804 C806 C807 M411 M710 M720
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12-N 12-P 12-T 12-U

89 M905 M904 M910 F000 F653 M280 M320 M413 M510 M521 M530 M540 M710 M720
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328-N 328-P 328-T 328-U

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N225 N242 N261 N311 N315 N333 P220 Q261 R00457-N R00457-P R00457-T
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RA6JTK-P RA6JTK-T 516465-N 516465-P 516465-T

92 M905 M904 F011 F012 F013 F014 F015 F019 F211 F560 F610 G015 G100 H1
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RA6JTL-P RA6JTL-T 516466-N 516466-P 516466-T

93 M905 M904 F011 F012 F013 F014 F015 F019 F211 F560 F610 G015 G100 H1
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RA6JTM-P RA6JTM-T 516467-N 516467-P 516467-T

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97 M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G013 G015 G100
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516471-T

98 M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1
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Ring Index Numbers: (Linked) 00035; 00346; 00347; 00402; 00403; 00414; 00443; 00463; 00469;
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00347; 00347; 00347

Specific Compound Numbers: RA6JTT-K; RA6JTT-S; RA6JTU-K; RA6JTU-S; RA6JTV-K; RA6JTV-
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Generic (Markush) Compound Numbers: 0058-68604-N; 0058-68604-P; 0058-68604-T; 0058-68605-N;
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Derwent Chemistry Resource Numbers: (Linked) 516474-K; 516474-S; 516475-K; 516475-S; 516476-
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(Unlinked) 107320-P; 107320-U; 12-P; 12-U; 129427-P; 129427-U; 129987-P; 129987-U; 130081-P; 130081-U; 130554-P; 130554-U; 131865-P; 131865-U; 132879-P; 132879-U; 188420-P; 188420-U; 218-P; 218-U; 244-P; 244-U; 328-P; 328-U; 374-P; 374-U; 3860-P; 3860-U; 76-P; 76-U; 813-P; 813-U; 85213-P; 85213-U; 97129-P; 97129-U

Key Word Indexing

1 107320-CL 1647-CL 218-CL 130081-CL 1341-CL 207-CL 76-CL 813-CL 85213-CL
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Current IPC: A61K-31/422(R,I,M,JP,20060101,20051220,A,L) A61K-31/422

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Current IPC: A61K-31/422(R,I,M,JP,20060101,20051220,A,L) A61K-31/422

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Current IPC: A61K-31/422(R,I,M,JP,20060101,20051220,A,L) A61K-31/422

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(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20
(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10
(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14
(R,I,M,EP,20060101,20051008,A)

Czech Republic

Publication No. CZ 200300228 A3 (Update 200347 E)

Publication Date: 20030618

Assignee: RANBAXY LAB LTD (RANB-N)

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RATTAN A

Language: CS

Application: WO 2001IB1262 A 20010716 (PCT Application)

CZ 2003228 A 20010716 (Local application)

Priority: IN 2000DE654 A 20000717

Related Publication: WO 2002006278 A (Based on OPI patent)

Original IPC: C07D-413/14(A) A61K-31/381(B) A61K-31/422(B) A61K-31/496(B) A61K-31/551(B)
A61P-31/04(B) C07D-413/12(B)

Current IPC: A61K-31/422(R,A,I,M,JP,20060101,20051220,A,L) A61K-31/422

(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

(R,I,M,JP,20060101,20051220,C,L) A61K-31/496(R,I,M,JP,20060101,20051220,A,L) A61K-31/496

(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

(R,I,M,JP,20060101,20051220,A,L) A61K-31/541(R,I,M,JP,20060101,20051220,A,L) A61K-31/541

(R,I,M,JP,20060101,20051220,C,L) A61P-31/00(R,I,M,JP,20060101,20051220,C,L) A61P-31/04

(R,I,M,JP,20060101,20051220,A,L) A61P-43/00(R,I,M,JP,20060101,20051220,A,L) A61P-43/00

(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20

(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10

(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14

(R,I,M,EP,20060101,20051008,A)

EPO

Publication No. EP 1303511 A1 (Update 200329 E)

Publication Date: 20030423

OXAZOLIDON DERIVATEN ALS ANTIMIKROBIELLER

OXAZOLIDINONE DERIVATIVES AS ANTIMICROBIALS

DERIVES D'OXAZOLIDINONE UTILISES EN TANT QU'ANTIMICROBIENS

Assignee: RANBAXY LABORATORIES, LTD., 19, Nehru Place, New Delhi 110 019, IN (RANB-N)

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Language: EN

Application: EP 2001947730 A 20010716 (Local application)

WO 2001IB1262 A 20010716 (PCT Application)

Priority: IN 2000DE654 A 20000717

Related Publication: WO 2002006278 A (Based on OPI patent)

Designated States: (Regional Original) AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU
LV MC MK NL PT RO SE SI TR

Original IPC: C07D-413/14(A) A61K-31/42(B) C07D-413/12(B)

Current IPC: A61K-31/422(R,I,M,JP,20060101,20051220,A,L) A61K-31/422

(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

(R,I,M,JP,20060101,20051220,C,L) A61K-31/496(R,I,M,JP,20060101,20051220,A,L) A61K-31/496

(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

(R,I,M,JP,20060101,20051220,A,L) A61K-31/541(R,I,M,JP,20060101,20051220,A,L) A61K-31/541

(R,I,M,JP,20060101,20051220,C,L) A61P-31/00(R,I,M,JP,20060101,20051220,C,L) A61P-31/04

(R,I,M,JP,20060101,20051220,A,L) A61P-43/00(R,I,M,JP,20060101,20051220,A,L) A61P-43/00

(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20

(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10

(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14

(R,I,M,EP,20060101,20051008,A)

Original Abstract:

The present invention relates to certain substituted phenyl oxazolidinones and to processes for the synthesis of the same. This invention also relates to pharma-ceutical compositions containing the compounds of the present invention as anti-microbials. The compounds are useful antimicrobial agents, effective against a number of human and veterinary pathogens, including gram-positive aerobic bacteria such as multiply-resistant staphylococci, streptococci and enterococci as well as anaerobic organisms such as Bacterioides spp. and Clostridia spp. species, and acid fast organisms such as Mycobacterium tuberculosis, Mycobacterium avium and Mycobacterium spp.

Hungary

Publication No. HU 200302918 A2 (Update 200413 E)

Publication Date: 20031229

Assignee: RANBAXY LAB LTD (RANB-N)

Inventor: ARORA S K

DAS B

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Language: HU

Application: WO 2001IB1262 A 20010716 (PCT Application)

HU 20032918 A 20010716 (Local application)

Priority: IN 2000DE654 A 20000717

Related Publication: WO 2002006278 A (Based on OPI patent)

Original IPC: C07D-413/14(A) A61K-31/42(B) A61P-31/04(B) C07D-413/12(B)

Current IPC: A61K-31/422(R,A,I,M,JP,20060101,20051220,A,L) A61K-31/422

(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

(R,I,M,JP,20060101,20051220,C,L) A61K-31/496(R,I,M,JP,20060101,20051220,A,L) A61K-31/496

(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

(R,I,M,JP,20060101,20051220,A,L) A61K-31/541(R,I,M,JP,20060101,20051220,A,L) A61K-31/541
(R,I,M,JP,20060101,20051220,C,L) A61P-31/00(R,I,M,JP,20060101,20051220,C,L) A61P-31/04
(R,I,M,JP,20060101,20051220,A,L) A61P-43/00(R,I,M,JP,20060101,20051220,A,L) A61P-43/00
(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20
(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10
(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14
(R,I,M,EP,20060101,20051008,A)

Japan

Publication No. JP 2004504321 W (Update 200413 E)

Publication Date: 20040212

Language: JA (208 pages)

Application: WO 2001IB1262 A 20010716 (PCT Application)

JP 2002512181 A 20010716 (Local application)

Priority: IN 2000DE654 A 20000717

Related Publication: WO 2002006278 A (Based on OPI patent)

Original IPC: C07D-263/20(A) A61K-31/422(B) A61K-31/4468(B) A61K-31/496(B) A61K-31/5377

(B) A61K-31/541(B) A61P-31/04(B) A61P-43/00(B) C07D-413/12(B) C07D-413/14(B)

Current IPC: C07D-263/20(A) A61K-31/422(B) A61K-31/4468(B) A61K-31/496(B) A61K-31/5377(B)

A61K-31/541(B) A61P-31/04(B) A61P-43/00(B) C07D-413/12(B) C07D-413/14(B)

Mexico

Publication No. MX 2003000503 A1 (Update 200470 E)

Publication Date: 20031201

Assignee: RANBAXY LAB LTD (RANB-N)

Inventor: MEHTA A

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DAS B

RAY A

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Language: ES

Application: WO 2001IB1262 A 20010716 (PCT Application)

MX 2003503 A 20030116 (Local application)

Priority: IN 2000DE654 A 20000717

Related Publication: WO 2002006278 A (Based on OPI patent)

Original IPC: A61K-31/42(A) C07D-413/12(B) C07D-413/14(B)

Current IPC: A61K-31/422(R,A,I,M,JP,20060101,20051220,A,L) A61K-31/422

(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

(R,I,M,JP,20060101,20051220,C,L) A61K-31/496(R,I,M,JP,20060101,20051220,A,L) A61K-31/496

(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

(R,I,M,JP,20060101,20051220,A,L) A61K-31/541(R,I,M,JP,20060101,20051220,A,L) A61K-31/541

(R,I,M,JP,20060101,20051220,C,L) A61P-31/00(R,I,M,JP,20060101,20051220,C,L) A61P-31/04

(R,I,M,JP,20060101,20051220,A,L) A61P-43/00(R,I,M,JP,20060101,20051220,A,L) A61P-43/00

(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20

(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10

(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14

(R,I,M,EP,20060101,20051008,A)

New Zealand

Publication No. NZ 523700 A (Update 200479 E)

Publication Date: 20041126

Assignee: RANBAXY LAB LTD (RANB-N)

Inventor: MEHTA A

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RATTAN A

Language: EN

Application: NZ 523700 A 20010716 (Local application)

WO 2001IB1262 A 20010716 (PCT Application)

Priority: IN 2000DE654 A 20000717

Related Publication: WO 2002006278 A (Based on OPI patent)

Original IPC: C07D-413/14(A) A61K-31/42(B) A61P-31/04(B) C07D-413/12(B)

Current IPC: C07D-413/00(R,A,I,M,EP,20060101,20051008,C) C07D-413/10

(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14

(R,I,M,EP,20060101,20051008,A)

Russia

Publication No. RU 2292345 C2 (Update 200752 E)

Publication Date: 20070127

Assignee: RANBAXY LAB LTD; IN (RANB-N)

Language: RU

Application: WO 2001IB1262 A 20010716 (PCT Application)

RU 2003103767 A 20010716 (Local application)

Priority: IN 2000DE654 A 20000717

Related Publication: WO 2002006278 A (Based on OPI patent)

Original IPC: C07D-263/00(B,I,M,98,20060101,20060926,C,L) C07D-263/20

(B,I,H,RU,20060101,20060926,A,L) C07D-413/00(B,I,M,98,20060101,20060926,C,F) C07D-413/00

(B,I,M,98,20060101,20060926,C,L) C07D-413/12(B,I,H,RU,20060101,20060926,A,L) C07D-413/14

(B,I,H,RU,20060101,20060926,A,F)

Current IPC: C07D-263/00(B,I,M,98,20060101,20060926,C,L) C07D-263/20

(B,I,H,RU,20060101,20060926,A,L) C07D-413/00(B,I,M,98,20060101,20060926,C,F) C07D-413/00

(B,I,M,98,20060101,20060926,C,L) C07D-413/12(B,I,H,RU,20060101,20060926,A,L) C07D-413/14

(B,I,H,RU,20060101,20060926,A,F)

Publication No. RU 2292345 C9 (Update 200752 E)

Publication Date: 20070510

Assignee: RANBAXY LAB LTD; IN (RANB-N)

Language: RU

Application: WO 2001IB1262 A 20010716 (PCT Application)

RU 2003103767 A 20010716 (Local application)

Priority: IN 2000DE654 A 20000717

Related Publication: WO 2002006278 A (Based on OPI patent)

Original IPC: C07D-263/00(B,I,M,98,20060101,20060926,C) C07D-263/20

(B,I,H,RU,20060101,20060926,A,L) C07D-413/00(B,I,M,98,20060101,20060926,C) C07D-413/12
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Current IPC: C07D-263/00(B,I,M,98,20060101,20060926,C) C07D-263/20
(B,I,H,RU,20060101,20060926,A,L) C07D-413/00(B,I,M,98,20060101,20060926,C) C07D-413/12
(B,I,H,RU,20060101,20060926,A,L) C07D-413/14(B,I,H,RU,20060101,20060926,A,F)

Slovakia

Publication No. SK 200300140 A3 (Update 200360 E)

Publication Date: 20030805

Assignee: RANBAXY LAB LTD (RANB-N)

Inventor: MEHTA A

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Language: SK

Application: WO 2001IB1262 A 20010716 (PCT Application)

SK 2003140 A 20010716 (Local application)

Priority: IN 2000DE654 A 20000717

Related Publication: WO 2002006278 A (Based on OPI patent)

Original IPC: C07D-413/14(A) A61K-31/42(B) C07D-413/12(B)

Current IPC: A61K-31/422(R,I,M,JP,20060101,20051220,A,L) A61K-31/422

(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

(R,I,M,JP,20060101,20051220,C,L) A61K-31/496(R,I,M,JP,20060101,20051220,A,L) A61K-31/496

(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

(R,I,M,JP,20060101,20051220,A,L) A61K-31/541(R,I,M,JP,20060101,20051220,A,L) A61K-31/541

(R,I,M,JP,20060101,20051220,C,L) A61P-31/00(R,I,M,JP,20060101,20051220,C,L) A61P-31/04

(R,I,M,JP,20060101,20051220,A,L) A61P-43/00(R,I,M,JP,20060101,20051220,A,L) A61P-43/00

(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20

(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10

(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14

(R,I,M,EP,20060101,20051008,A)

United States

Publication No. US 20020103186 A1 (Update 200253 E)

Publication Date: 20020801

Oxazolidinone derivatives as antimicrobials disease

Assignee: Mehta, Anita, Haryana, IN (MEHT-I)

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Ray, Abhijit, New Delhi, IN (RAYA-I)

Rudra, Sonali, New Delhi, IN (RUDR-I)

Rattan, Ashok, New Delhi, IN (RATT-I)

Inventor: Mehta, Anita, Haryana, IN

Arora, Sudershan K., Haryana, IN

Das, Biswajit, New Delhi, IN

Ray, Abhijit, New Delhi, IN

Rudra, Sonali, New Delhi, IN

Rattan, Ashok, New Delhi, IN

Agent: JAYADEEP R. DESHMUKH, ESQ., RANBAXY PHARMACEUTICALS INC., Suite 2100,
600 College Road East, Princeton, NJ, US

Language: EN

Application: US 2001906215 A 20010716 (Local application)

Priority: IN 2000DE654 A 20000717

Original IPC: A61K-31/551(A) A61K-31/454(B) A61K-31/496(B) C07D-413/02(B) C07D-413/14(B)

Current IPC: A61K-31/422(R,A,I,M,JP,20060101,20051220,A,L) A61K-31/422

(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

(R,I,M,JP,20060101,20051220,C,L) A61K-31/496(R,I,M,JP,20060101,20051220,A,L) A61K-31/496

(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

(R,I,M,JP,20060101,20051220,A,L) A61K-31/541(R,I,M,JP,20060101,20051220,A,L) A61K-31/541

(R,I,M,JP,20060101,20051220,C,L) A61P-31/00(R,I,M,JP,20060101,20051220,C,L) A61P-31/04

(R,I,M,JP,20060101,20051220,A,L) A61P-43/00(R,I,M,JP,20060101,20051220,A,L) A61P-43/00

(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20

(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10

(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14

(R,I,M,EP,20060101,20051008,A)

Original US Class (secondary): 514218 514253.1 514254.02 540575 544360 544370 546209 514340

Original Abstract:

The present invention relates to certain substituted phenyl oxazolidinones and to processes for the synthesis of the same. This invention also relates to pharmaceutical compositions containing the compounds of the present invention as antimicrobials. The compounds are useful antimicrobial agents, effective against a number of human and veterinary pathogens, including gram-positive aerobic bacteria such as multiply-resistant staphylococci, streptococci and enterococci as well as anaerobic organisms such as *Bacterioides* spp. and *Clostridia* spp. species, and acid fast organisms such as *Mycobacterium tuberculosis*, *Mycobacterium avium* and *Mycobacterium* spp.

Claim:

1. 1. A compound having the structure of Formula I[C00029] and its pharmaceutically acceptable salts, enantiomers, diastereomers, N-oxides, prodrugs or metabolites, wherein
 - o T is five to seven membered heterocyclic ring, aryl, substituted aryl, bound to the ring C with a linker w and the heterocyclic and aryl rings are further substituted by a group represented by R,
 - o wherein R is selected from the group consisting of --CN, COR₅, COOR₅, N(R₆, R₇), CON(R₆, R₇), CH₂NO₂, NO₂, CH₂R₈, CHR₉, --CHLN--OR₁₀, --CLCH--R₅, wherein R₅ is selected from the group consisting of H, optionally substituted C₁-C₁₂, alkyl, C₃₋₁₂, cycloalkyl, aryl, heteroaryl; R₆ and R₇ are independently selected from the group consisting of H, optionally substituted C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy; R₈ and R₉ are independently selected from the group consisting of H, C₁₋₆ alkyl, F, Cl, Br, C₁₋₁₂ alkyl substituted with one or more of F, Cl, Br, I, OR₄, SR₄, N(R₆, R₇) wherein R₄ is selected from the group consisting of H, C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkyl substituted with one or more F, Cl, Br, I or OH and R₆ and R₇ are the same as defined earlier, R₁₀ is selected from the group consisting of H, optionally substituted from H, optionally substituted C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆, alkoxy, C₁₋₆ alkyl, aryl,

heteroaryl;

- o n is an integer in the range from 0 to 3;
- o X is CH, CH--S, CH--O and N
- o Y and Z are independently selected from the group consisting of hydrogen, C₁₋₆ alkyl, C₃₋₁₂ cycloalkyl, C₀₋₃ bridging group;
- o U and V are independently selected from the group consisting of optionally substituted C₁₋₆ alkyl, F, Cl, Br, C₁₋₁₂ alkyl substituted with one or more of F, Cl, Br, I, preferably U and V are hydrogen or fluoro;
- o W is selected from the group consisting of CH₂, CO, CH₂NH, --NHCH₂, --CH₂NHCH₂, --CH₂--N(R₁₁)CH₂--, CH₂(R₁₁)N--, CH(R₁₁), S, CH₂(CO), NH wherein R₁₁ is optionally substituted with C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkyl, aryl, heteroaryl,
- o R₁ is selected from the group consisting of --NHC(LO)R₂ wherein R₂ is hydrogen, C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkyl substituted with one or more of F, Cl, Br, I or OH; N(R₃, R₄); --NR₂C(LS)R₃; --NR₂C(LS)SR₃ wherein R₂ is the same as defined above and R₃ and R₄ are independently selected from the group consisting of H, C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkyl substituted with one or more of F, Cl, Br, I or OH.

Publication No. US 6734307 B2 (Update 200431 E)

Publication Date: 20040511

Oxazolidinone derivatives as antimicrobials

Assignee: Ranbaxy Laboratories Limited, New Delhi, IN (RANB-N)

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Original IPC: C07D-413/14(A)

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(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

(R,I,M,JP,20060101,20051220,A,L) A61K-31/541(R,I,M,JP,20060101,20051220,A,L) A61K-31/541

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(R,I,M,JP,20060101,20051220,A,L) A61P-43/00(R,I,M,JP,20060101,20051220,A,L) A61P-43/00

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(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10

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Original US Class (secondary): 544369

Original Abstract:

The present invention relates to certain substituted phenyl oxazolidinones and to processes for the synthesis of the same. This invention also relates to pharmaceutical compositions containing the compounds of the present invention as antimicrobials. The compounds are useful antimicrobial agents, effective against a number of human and veterinary pathogens, including gram-positive aerobic bacteria such as multiply-resistant staphylococci, streptococci and enterococci as well as anaerobic organisms such as *Bacterioides* spp. and *Clostridia* spp. species, and acid fast organisms such as *Mycobacterium tuberculosis*, *Mycobacterium avium* and *Mycobacterium* spp.

Claim:

What is claimed is:

1. A process for preparing a compound of Formula XI[C00029](R₁₆L-CH₂F or --CHF₂) by reacting a compound of Formula IX[C00030] with sodium borohydride to produce a compound of Formula X[C00031] and further reacting this compound with diethylamino sulfurtrifluoride to produce compound of Formula XI.

WIPO

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OXAZOLIDINONE DERIVATIVES AS ANTIMICROBIALS

DERIVES D'OXAZOLIDINONE UTILISES EN TANT QU'ANTIMICROBIENS

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IN Residence: IN **Nationality:** IN (RANB-N)

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RATTAN, Ashok, B-481, Sarita Vihar, New Delhi 110 044, Maharashtra, IN **Residence:** IN

Nationality: IN

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(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

(R,I,M,JP,20060101,20051220,C,L) A61K-31/496(R,I,M,JP,20060101,20051220,A,L) A61K-31/496

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(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14

(R,I,M,EP,20060101,20051008,A)

Original Abstract:

The present invention relates to certain substituted phenyl oxazolidinones and to processes for the synthesis of the same. This invention also relates to pharma-ceutical compositions containing the compounds of the present invention as anti-microbials. The compounds are useful antimicrobial agents, effective against a number of human and veterinary pathogens, including gram-positive aerobic bacteria such as multiply-resistant staphylococci, streptococci and enterococci as well as anaerobic organisms such as *Bacterioides* spp. and *Clostridia* spp. species, and acid fast organisms such as *Mycobacterium tuberculosis*, *Mycobacterium avium* and *Mycobacterium* spp.

L'invention concerne certaines oxazolidinones de phenyle substituees et leur procede de synthese. Cette invention concerne egalement des compositions pharmaceutiques contenant les composes en tant qu'antimicrobiens de l'invention. Les composes sont des agents antimicrobiens utiles, efficaces contre un certain nombre de pathogenes humains et veterinaires, y compris des bacteries aerobies gram-positives tels que les staphylococci, streptococci et enterococci polyresistants, de meme que des organismes anaerobies tels que des especes bacterioides spp. et clostridie spp., et contre des organismes acido-resistants tels que *mycobacterium tuberculosis*, *mycobacterium avium* et *mycobacterium* spp.

South Africa

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(R,I,M,JP,20060101,20051220,C,L) A61K-31/496(R,I,M,JP,20060101,20051220,A,L) A61K-31/496

(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

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(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20

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(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14

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